Abstract of the Disclosure

Randomly generated glycopeptide combinatorial libraries are generated by randomly glycosylating a peptide having at least one glycosylation site with at least one glycosyl donor, optionally blocking unreacted glycosylation sites on the glycopeptides and optionally selectively removing one or more protecting groups on the carbohydrate groups introduced at the first level; whereby a first level library of glycopeptides is created; and then optionally randomly glycosylating said first level library of glyco- peptides, or a combination of first level libraries of glycopeptides, with at least one glycosyl donor, and optionally selectively removing one or more designated protecting groups on the carbohydrate groups introduced at the second level; whereby a second level library of glyco- peptides is created. Further iterations of the process result in higher level libraries of increased diversity. The glycopeptide libraries including, e.g., carcinoma- associated mucins such as MUC1, are screened for drug-like, competitive inhibitory, immunostimulatory, antibody-like, and other biological activities.